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=> d his

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L3              45 S L1 FULL

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L4               6 S L3

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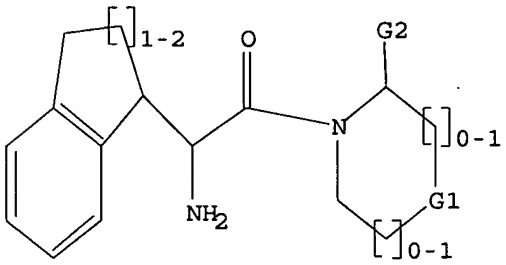

G1 C,S

G2 H,CN

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Structure attributes must be viewed using STN Express query preparation.
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L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:426411 CAPLUS
DN 142:464013
TI Preparation of fused phenylalanine derivatives as dipeptidyl peptidase-IV inhibitors for the treatment or prevention of diabetes
IN Ashton, Wallace T.; Dong, Hong; Xu, Jinyou
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005044195	A2	20050519	WO 2004-US36252	20041029
	WO 2005044195	A3	20051215		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	AU 2004286857	A1	20050519	AU 2004-286857	20041029
	CA 2541212	A1	20050519	CA 2004-2541212	20041029
	EP 1682120	A2	20060726	EP 2004-810181	20041029
	CN 1870990	A	20061129	CN 2004-80031602	20041029
	US 2006281727	A1	20061214	US 2006-573108	20060323
PRAI	US 2003-517287P	P	20031104		
	WO 2004-US36252	W	20041029		

OS MARPAT 142:464013
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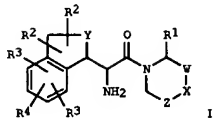
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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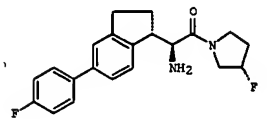

II

AB The invention relates to fused phenylalanine derivs. I [X = CH2, S, SO, SO2, CHF or CF2; W, Z = null, CH2, CHF or CF2; Y = CH2 or CH2CH2; R1 = H or cyano; R2, R3 = H, halo, alkyl, alkoxy, CF3, CF3O or OH; R4 = H, halo, (un)substituted aryl, heteroaryl or heterocyclyl] or their pharmaceutically-acceptable salts which are inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme and are useful in the treatment or prevention of diseases such as diabetes. Thus, II.HCl was prepared by a multistep procedure involving reaction of 1,5-dibromoindan (preparation given) with [2-[(3aS,6R,7aR)-(8,8-dimethyl-2,2-dioxidotetrahydro-3a,6-methano-2,1-benzisothiazol-1(4H)-yl)]-2-oxoethyl](diphenylmethylene)amine.
IT 851760-20-8P 851760-21-9P 851760-22-0P
851760-23-1P 851760-24-2P 851760-25-3P
851760-26-4P 851760-27-5P 851760-28-6P
851760-29-7P 851760-30-0P 851760-31-1P
851760-32-2P 851760-33-3P 851760-34-4P
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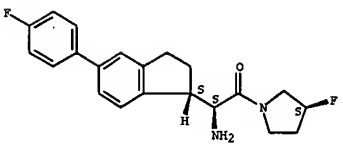
851760-44-6P 851760-45-7P 851760-46-8P
851760-47-9P 851760-48-0P 851760-49-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused phenylalanine derivs. as dipeptidyl peptidase-IV inhibitors for treatment diabetes)
RN 851760-20-8 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

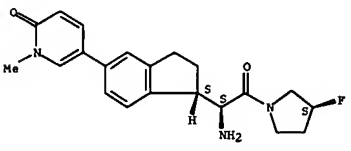
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 851760-21-9 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

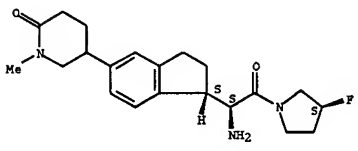


● HCl

RN 851760-22-0 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

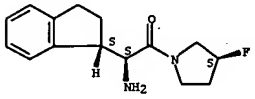
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

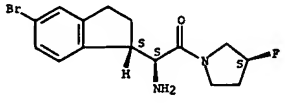
RN 851760-23-1 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-24-2 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

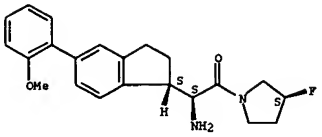
Absolute stereochemistry.



RN 851760-25-3 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(2-methoxyphenyl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

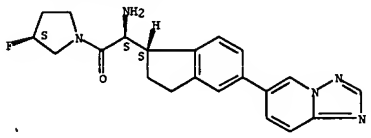
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



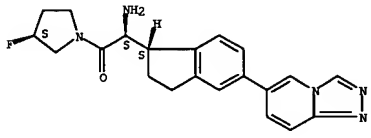
RN 851760-26-4 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[1,2,4]triazolo[1,5-a]pyridin-6-yl-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-27-5 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1,2,4-triazolo[4,3-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

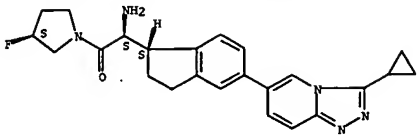
Absolute stereochemistry.



RN 851760-28-6 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(3-cyclopropyl-1,2,4-triazolo[4,3-a]pyridin-6-yl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

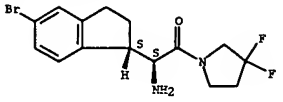
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



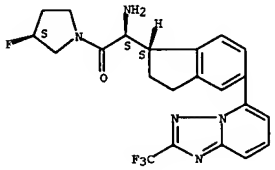
RN 851760-29-7 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-30-0 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[2-(trifluoromethyl)[1,2,4]triazolo[1,5-a]pyridin-5-yl]-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

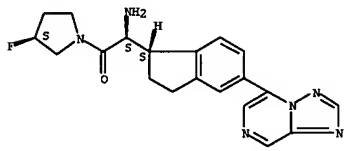
Absolute stereochemistry.



RN 851760-31-1 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyrazin-5-yl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

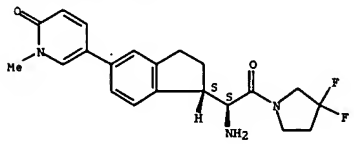
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



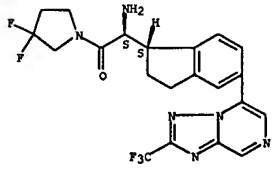
RN 851760-32-2 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-33-3 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[2-(trifluoromethyl)[1,2,4]triazolo[1,5-a]pyrazin-5-yl]-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

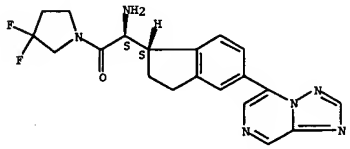
Absolute stereochemistry.



RN 851760-34-4 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyrazin-5-yl)-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

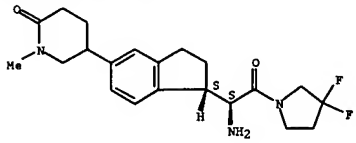
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



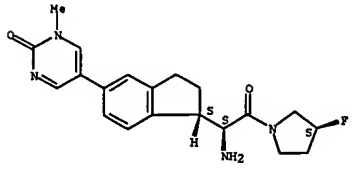
RN 851760-35-5 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-36-6 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,2-dihydro-1-methyl-2-oxo-5-pyrimidinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

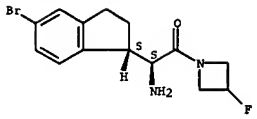
Absolute stereochemistry.



RN 851760-37-7 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-5-bromo-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

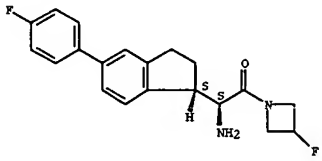
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



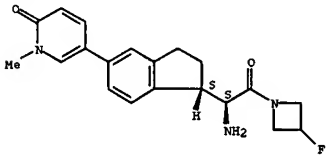
RN 851760-38-8 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-39-9 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

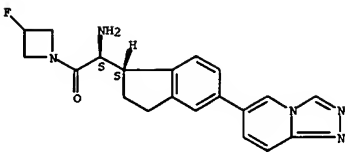
Absolute stereochemistry.



RN 851760-40-2 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1,2,4-triazolo[4,3-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

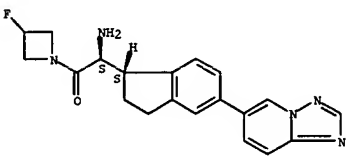
Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



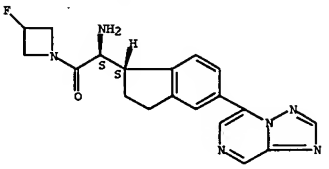
RN 851760-41-3 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyridin-6-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-42-4 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-([1,2,4]triazolo[1,5-a]pyrazin-5-yl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

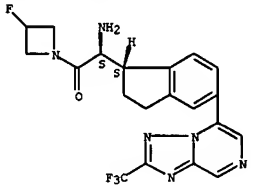
Absolute stereochemistry.



RN 851760-43-5 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-[2-(trifluoromethyl)[1,2,4]triazolo[1,5-a]pyrazin-5-yl]-1H-inden-1-yl]acetyl]-

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-44-6 CAPLUS
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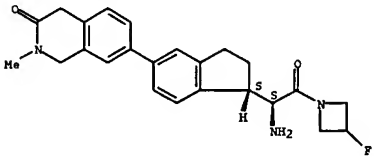
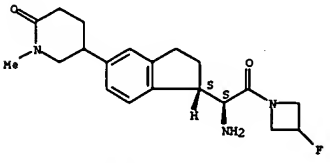
Absolute stereochemistry.



RN 851760-45-7 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

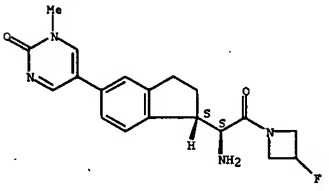
Absolute stereochemistry.



RN 851760-46-8 CAPLUS
CN Azetidine, 1-[(2S)-amino[(1S)-5-(1,2-dihydro-1-methyl-2-oxo-5-pyrimidinyl)-

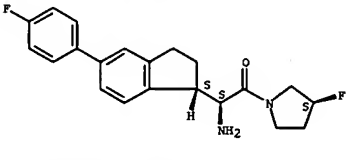
L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



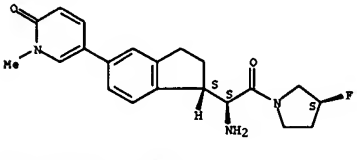
RN 851760-47-9 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(4-fluorophenyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851760-48-0 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-5-(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)-2,3-dihydro-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

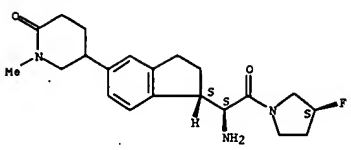
Absolute stereochemistry.



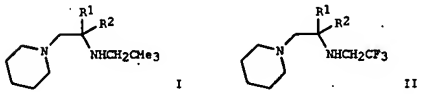
RN 851760-49-1 CAPLUS
CN Pyrrolidine, 1-[(2S)-amino[(1S)-2,3-dihydro-5-(1-methyl-6-oxo-3-piperidinyl)-1H-inden-1-yl]acetyl]-3-fluoro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

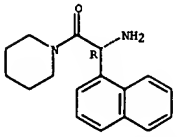


L4 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:167238 CAPLUS
DN 134:340424
TI Stereoselective reactions. XXXIII. Design and synthesis of chiral bidentate amines having a bulky group on the chiral carbon
AU Toriyama, Masaharu; Tokutake, Norio; Koga, Kenji
CS College of Pharmacy, Nihon University, Funabashi, 274-8555, Japan
SO Chemical & Pharmaceutical Bulletin (2001), 49(3), 330-334
CODEN: CPBTAL; ISSN: 0009-2363
PB Pharmaceutical Society of Japan
DT Journal
LA English
OS CASREACT 134:340424
GI



AB Chiral bidentate amines I and II (R1 = 1-naphthyl, 2-naphthyl, 3,5-Me2C6H3, H; R2 = H, Me3C) were prepared
IT 338731-64-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of chiral bidentate amines)
RN 338731-64-9 CAPLUS
CN Piperidine, 1-[(2R)-amino-1-naphthalenylacetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

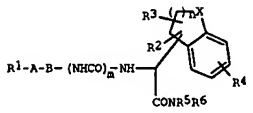


RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

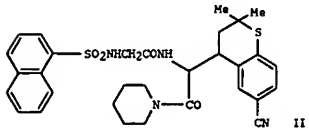
L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1997:480872 CAPLUS
DN 127:149409
TI Preparation of α-arylglycine and N-glycyl-α-arylglycyl derivatives having affinity to neuropeptide Y (NPY) receptor
IN Kondo, Tasuku; Itahana, Hirotsune; Tobe, Takahiko; Togami, Junji; Tsukamoto, Shinichi
PA Yamanouchi Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 41 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09157253	A	19970617	JP 1995-323172	19951212
PRAI JP 1995-323172		19951212		

OS MARPAT 127:149409
GI



I

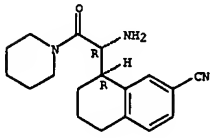


II

AB The title compds. [I; A = aryl, optionally benzene ring-condensed 5- or 6-membered N-containing heterocyclyl, lower alkylene; B = SO2, CO, O2C, CHR7CO; wherein R7 = H, lower alkyl, aryl; X = optionally lower alkyl-substituted CH2 or NH, S, O; R1 = H, NH2, mono- or di(lower alkyl)amino; R2, R3 = H, lower alkyl; R4 = H, cyano, NO2, CONH2, C(:S)NH2, NH2, mono- or di(lower alkyl)amino, (NH)pC(:Y)NR8R9; Y = NH, S, O; wherein R8, R9 = H, lower alkyl, cycloalkyl; or NR8R9 = N-containing heterocyclyl optionally containing O; p = 0,1; R5, R6 = H, lower alkyl, (un)substituted aralkyl or aryl; or NR5R6 = N-containing heterocyclyl optionally containing O and/or benzene ring-fused; n = 0, 1-4; m =0,1] are prepared They are useful for the treatment of diseases related to physiol. function of NPY receptor such as obesity, overeating (hyperphagia), sitophobia (phagophobia), epilepsy, anxiety, senile dementia, depression, Parkinson's disease, brain degeneration accompanied by head trauma, various body symptoms caused by stress, hypertension, hypotension, heart failure, angina pectoris, myocardial infarction, coronary diseases, syndrome X, kidney diseases, asthma, diarrhea, and hormone abnormality, or as immunomodulators, etc. (no data). Thus, (2RS,4'RS)-1-[2-(6'-cyano-2',2'-dimethyl-3',4'-dihydro-

L4 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
2'H-benzothiopyran-4'-yl)-N-(diphenylmethylene)glycyl]piperidine was stirred with a mixt. of concd. HCl and MeOH at room temp. for 1 h followed by workup and condensation with N-(2-naphthylsulfonyl)glycine in the presence of (PhO)2P(O)N3 in DMF to give the title compd. (II).
IT 193404-06-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of α-arylglycine and N-glycyl-α-arylglycyl derivs. having affinity to neuropeptide Y (NPY) receptor)
RN 193404-06-7 CAPLUS
CN Piperidine, 1-[amino(7-cyano-1,2,3,4-tetrahydro-1-naphthalenyl)acetyl]-, monohydrochloride, [R-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



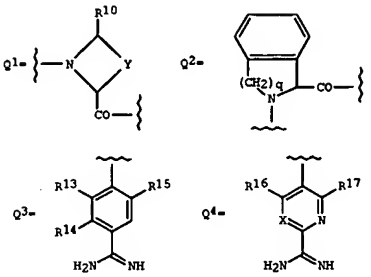
● HCl

L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1996:632101 CAPLUS
DN 125:301605
TI Preparation of dipeptide amidine analogs as thrombin inhibitors.
IN Boehm, Hans-Joachim; Hoeffken, Hans Wolfgang; Hornberger, Wilfried; Koser, Stefan; Mack, Helmut; Pfeiffer, Thomas; Seitz, Werner; Zierke, Thomas
PA BASF A.-G., Germany
SO PCT Int. Appl., 152 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9625426	A1	19960822	WO 1996-EP582	19960212
	W: AU, BG, BR, CA, CN, CZ, FI, HU, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, US, AZ, BY, KG, KZ, RU, TJ, TM				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2211109	A1	19960822	CA 1996-2211109	19960212
	AU 9648751	A	19960904	AU 1996-48751	19960212
	AU 708001	B2	19990729		
	CN 1175953	A	19980311	CN 1996-191967	19960212
	HU 9800263	A2	19980629	HU 1998-263	19960212
	BR 9607582	A	19980707	BR 1996-7582	19960212
	EP 873356	A1	19981028	EP 1996-904759	19960212
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 11500120	T	19990106	JP 1996-524648	19960212
	NZ 302649	A	20000128	NZ 1996-302649	19960212
	HR 960075	B1	20041031	HR 1996-75	19960215
	TW 450968	B	20010821	TW 1996-85102085	19960216
	IN 1996MA00264	A	20050304	IN 1996-MA264	19960216
	ZA 9601276	A	19970819	ZA 1996-1276	19960219
	US 6030972	A	20000229	US 1997-894252	19970730
	FI 9703360	A	19970815	FI 1997-3360	19970815
	NO 9703764	A	19971015	NO 1997-3764	19970815
	BG 63697	B1	20020930	BG 1997-101835	19970815
	US 6444817	B1	20020903	US 1999-414681	19991008
	US 2002169318	A1	20021114	US 2002-100099	20020319
	US 6900319	B2	20050531		
PRAI	DE 1995-19505484	A	19950217		
	DE 1995-19506611	A	19950224		
	DE 1995-19507455	A	19950303		
	WO 1996-EP582	W	19960212		
	US 1997-894252	A3	19970730		
	US 1999-414681	A3	19991008		

OS MARPAT 125:301605
GI

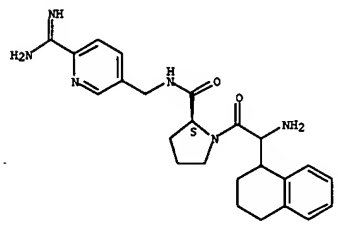
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB ABNHCR1R2D [R1 = H, alkyl; R2 = H, alkyl, Ph, phenylalkyl, R18OCH2, R18CO, R18NHCOCO, etc.; R18 = H, alkyl, Ph, phenylalkyl, CF3CO, alkoxycarbonyl, etc.; A = R3R4NCR5[(CH2)mR6]CO, R7O[(CH2)mR8]CO, etc.; m = 0, 1; R3, R4 = H, alkyl, arylalkyl, etc.; R5 = H, alkyl, PhCH2; R6 = (substituted) cycloalkyl, Ph, adamantyl, norbornyl, 1-decalinyl, etc.; R7 = H, alkyl, alkylcarbonyl, aminocarbonyl, aminocarbonylalkyl, 5-tetrazolylmethyl, bile acid acyl residue, etc.; R8 = (substituted) Ph, cycloalkyl, 1-indanyl, dibenzosuberyl, etc.; B = Q1, Q2, R11NCHR12CO, etc.; q = 1, 2; Y = CH2, CH2CH2 such that the resulting ring can have an OH, O, or alkoxy group at the 4-position, CH2S, CH2SO, CH:CH, etc.; R10 = H, alkyl, Ph; R11, R12 = H, alkyl, cycloalkyl, Ph, PhCH2; D = Q3, Q4, etc.; R13-R15 = H, NO2, F, Cl, Br, iodo, cycloalkyl, amino, acylamino, etc.; R13R14 = (CH2)3, (CH2)4, OCH2O, CH:CHCH:CH; R16, R17 = H, F, Cl, alkyl, phenylalkyl, Ph, CO2H, alkoxycarbonyl, amino, etc.; X = CH, N], were prepared as thrombin inhibitors (no data). Thus, D-3-phenyllactylproline p-amidinoqbenzylamide acetate salt was prepared via coupling of O-tetrahydropyranyl-D-3-phenyllactic acid with N-(p-cyanobenzyl)prolinamide followed by conversion of the cyano function to the thioamide and then thioimidate.
IT 182286-16-4P 182286-39-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of dipeptide amidine analogs as thrombin inhibitors)
RN 182286-16-4 CAPLUS
CN L-Prolinamide, 2-(1,2,3,4-tetrahydro-1-naphthalenyl)glycyl-N-[[6-(aminoiminomethyl)-3-pyridinyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

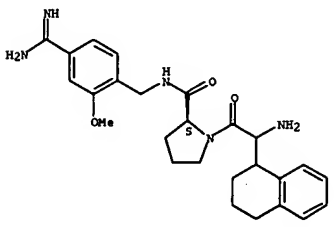
L4 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



●2 HCl

RN 182286-39-1 CAPLUS
CN L-Prolinamide, 2-(1,2,3,4-tetrahydro-1-naphthalenyl)glycyl-N-[[4-(aminoiminomethyl)-2-methoxyphenyl]methyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

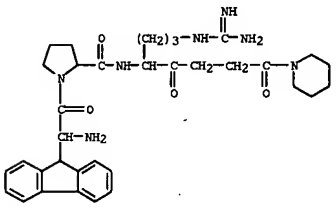
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:572117 CAPLUS
DN 117:172117
TI Inhibitors and substrates of thrombin
IN Kakkar, Vijay Vir; Deadman, John Joseph; Claeson, Goran Karl; Cheng, Leifeng; Chino, Naoyashi; Elgendy, Said Mohamed Anwar; Scully, Michael Finbarr
PA Thrombosis Research Institute, UK
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9207869	A1	19920514	WO 1991-GB1946	19911106
	W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MC, MG, MW, NL, NO, PL, RO, SD, SE, SU, US				
	RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG				
	AU 9189007	A	19920526	AU 1991-89007	19911106
	AU 636521	B2	19930429		
	EP 509080	A1	19921021	EP 1991-919539	19911106
	EP 509080	B1	20000816		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 9108805	A	19930428	ZA 1991-8805	19911106
	JP 05504775	T	19930722	JP 1991-518185	19911106
	JP 3173786	B2	20010604		
	EP 807638	A1	19971119	EP 1997-201436	19911106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	EP 955309	A1	19991110	EP 1999-200841	19911106
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	AT 195531	T	20000915	AT 1991-919539	19911106
	ES 2149158	T3	20001101	ES 1991-919539	19911106
	JP 2001226397	A	20010821	JP 2000-398079	19911106
	JP 3453357	B2	20031006		
	US 5648338	A	19970715	US 1994-317837	19941004
	US 5858979	A	19990112	US 1995-459394	19950602
	US 6387881	B1	20020514	US 1998-205349	19981203
	GR 3034839	T3	20010228	GR 2000-402527	20001113
PRAI	GB 1990-24129	A	19901106		
	US 1993-158046	B1	19901106		
	EP 1991-919539	A3	19911106		
	JP 1991-518185	A3	19911106		
	WO 1991-GB1946	A	19911106		
	US 1992-866178	B1	19920919		
	US 1994-317837	A1	19941004		
	US 1995-459394	A1	19950602		

OS MARPAT 117:172117
GI

AB Peptides derived from D-Phe-Pro-Arg or its analogs in which the Phe is substituted by amino acids I [Ar1 and Ar2 = Ph, thienyl, pyridyl,

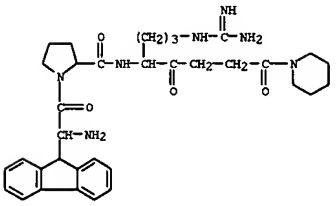
L4 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
naphthyl, thionaphthyl, indolyl; L1 and L2 = CH2, CH2CH2, OCH2, SCH2, Ar-L (Ar-L = H or benzyl in which one Ar-L can not be H when the other Ar-L means H or benzyl)] were prepd. as thrombin inhibitors or substrates. Thus, AcCH(CN)CO2Et was alkylated with Ph2CHBr in the presence of KOCMe3 in tert-BuOH to give 58% Ph2CHC(CN)(NHAc)CO2Et, hydrolyzed in refluxing 20% HCl to give 81.8% DL-Ph2CH(NH2)CO2H.HCl (H-Dpa-OH.HCl). The latter was treated with PhCH2O2CCl (ZCl) in 2N NaOH to give 97% Z-DL-Dpa-OH, which was esterified with N-hydroxysuccinimide (HONSu) by DCC in 1,2-dimethoxyethane 91% Z-DL-Dpa-ONSu, which was coupled with proline in the presence of NaHCO3 in water/1,2-dimethoxyethane to give a diastereomeric mixt. of Z-D-Dpa-Pro-OH and Z-L-Dpa-Pro-OH. Z-D-Dpa-Pro-OH was esterified with HONSu by DCC in dimethoxyethane to give the active ester, which was coupled with H-Arg(Mtr)-OH (Mtr = 4-methoxy-2,3,6-trimethylbenzenesulfonyl) in DMF to give 91% Z-D-Dpa-Pro-Arg(Mtr)-OH. The latter underwent the Darkin-West reaction with (MeO2CCH2CH2CO)2O in the presence of Et3N, DMAP, and pyridine to give 98% Z-D-Dpa-Pro-Arg(Mtr)-k-Gly-OMe (k means amide bond replaced by CONCH2), which was sapond. and then condensed with piperidine (pip) by DCC/HONSu in dimethoxyethane to give 81% Z-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip. The latter was Mtr-deblocked by CF3CO2H (TFA)/thioanisole and then Z-deblocked by hydrogenolysis to give 75% H-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip.TFA. H-D-Dpa-Pro-Arg(Mtr)-k-Gly-pip inhibited thrombin in an in vitro assay with a Ki 0.2 μM.
IT 143343-48-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as thrombin inhibitor)
RN 143343-48-0 CAPLUS
CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (S)-(9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
[(aminoiminomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143217-80-5
CMF C34 H45 N7 O4



CM 2

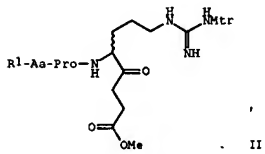
CRN 76-05-1
CMF C2 H F3 O2

RN 143343-47-9 CAPLUS
CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 143343-46-8
CMF C34 H45 N7 O4

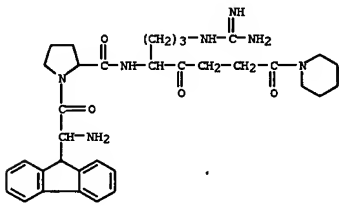
L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1992:572008 CAPLUS
DN 117:172008
TI Synthesis and biological activity of ketomethylene pseudopeptide analogs as thrombin inhibitors
AU Cheng, Leifeng; Goodwin, Christopher A.; Schully, Michael F.; Kakkar, Vijay V.; Claeson, Goran
CS Thromb. Res. Inst., London, SW3 6LR, UK
SO Journal of Medicinal Chemistry (1992), 35(18), 3364-9
CODEN: JMCMAR; ISSN: 0022-2623
DT Journal
LA English
OS CASREACT 117:172008
GI



II

AB Ketomethylene pseudopeptide analogs Aa-Pro-Argψ(COCH2)Gly-pip [I; Aa = D-Dpa (Dpa = β,β-diphenylalanine), L-Dpa, DL-Dpa, DL-αNal (αNal = α-naphthylalanine) DL-βNal, D-βNal, DL-Fgl (Fgl = fluorenylglycine); pip = piperidide] with highly lipophilic side chains and ψ(COCH2) is a ketomethylene pseudopeptide bond, have been synthesized through a modified Dakin-West reaction of R1-Aa-Pro-Arg(Mtr)-OH (R1 = Me3CO2C, PhCH2O2C; Mtr = 4-methoxy-2,3,6-trimethylphenylsulfonyl) with anhydride (MeO2CCH2CH2CO)2O under very mild conditions to give protected ketomethylene pseudopeptides II. II were condensed with piperidine and then deblocked to give the appropriate I. Their enzymic assay of thrombin inhibition has been carried out. The structure-activity relationship study indicated that a lipophilic side chain on the amino acid in the P3 position is very important for binding to the apolar site of thrombin. I (X = D-Dpa) has a Ki of 0.2 μM and it doubles thrombin clotting time at only 3 times higher concentration These values are about 7 times better than those of the corresponding D-Phe analogs. Furthermore, I (X = D-Dpa) shows poor inhibitory activity against plasmin, Factor Xa, urokinase, and kallikrein. Preliminary in vivo testing (3-4-kg rabbit as the animal model) shows no observable side effect (change of blood pressure and accumulation of blood platelet in lungs) at a dose of 1 mg/kg.
IT 143217-81-6P 143343-47-9P 143343-49-1P
143343-51-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thrombin-inhibiting activity of)
RN 143217-81-6 CAPLUS
CN L-Prolinamide, L-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



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CRN 76-05-1
CMF C2 H F3 O2

RN 143343-49-1 CAPLUS
CN L-Prolinamide, D-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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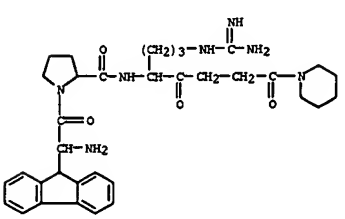

CM 2

L4 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 76-05-1
CMF C2 H F3 O2

RN 143343-51-5 CAPLUS
CN L-Prolinamide, L-2-(9H-fluoren-9-yl)glycyl-N-[1-[3-[(aminoiminomethyl)amino]propyl]-2,5-dioxo-5-(1-piperidinyl)pentyl]-, (S)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

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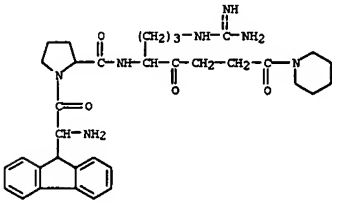
CRN 143343-50-4
CMF C34 H45 N7 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

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L6            64 SEA FILE=CAPLUS ABB=ON  PLU=ON  "DONG HONG"/AU
L7            31 SEA FILE=CAPLUS ABB=ON  PLU=ON  "XU JINYOU"/AU
L8           185 SEA FILE=CAPLUS ABB=ON  PLU=ON  L5 OR L6 OR L7
L9            10 SEA FILE=CAPLUS ABB=ON  PLU=ON  L8 AND PHENYLALANINE

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L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:193335 CAPLUS
DN 144:254133
TI Fused triazole derivatives as dipeptidyl peptidase-IV inhibitors, their preparation, pharmaceutical compositions and use for the treatment or prevention of diabetes
IN Weber, Ann E.; Ashton, Wallace T.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006023750	A2	20060302	WO 2005-US29591	20050819
WO 2006023750	A3	20060727		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRAI US 2004-603727P P 20040823
OS MARPAT 144:254133
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to fused triazole derivs. I, which are inhibitors of dipeptidyl peptidase-IV (DPP-IV). In compds. I, the bonds between D and E, and L and M are single or double bonds; Ar is Ph, substituted with one to five substituents, independently selected from OH, halo, cyano, (un)substituted C1-6 alkyl, and (un)substituted C1-6 alkoxy; A is a bond, CH2, O, or S; D and E together are CH2CH2 when A is CH2, O, or S, but are selected from CH2CH2 and CH=CH when A is a bond; and L and M together form an optionally substituted fused ring selected from triazolo, pyrazolo, imidazolo, benzo, pyrimidino, and pyrazino; including pharmaceutically acceptable salts thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier, as well as to the use of the compns. for the treatment or prevention of diseases in which DPP-IV is involved, such as diabetes, particularly type 2 diabetes. Deprotonation of optically active pyrazine II followed by stereoselective alkylation with 2,4,5-trifluorobenzyl bromide, acid hydrolysis, and N-protection gave (R)-amino acid ester III, which underwent homologation by hydrolysis, anhydride formation, addition of diazomethane, and oxidation to form carboxylic acid IV. Coupling of IV with

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
5-hydrazino[1,2,4]triazolo[1,5-c]pyrimidine (V; one-step prepn. from 5-chloro[1,2,4]triazolo[1,5-c]pyrimidine given) followed by cyclization/deprotection with acetic acid and deacetylation resulted in the formation of fused triazole VI. The compds. of the invention are inhibitors of DPP-IV (no data).

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:739809 CAPLUS
TI Conformationally constrained dipeptidyl peptidase IV inhibitors in the α-aminoacyl amide series
AU Dong, Hong; Ashton, Wallace T.; Reigle, Leah B.; Sisco, Rosemary M.; Xu, Jinyou; Wei, Lan; Lyons, Kathryn A.; He, Huaibing; Leiting, Barbara; Wu, Joseph K.; Zhang, Xiaoping; Patel, Reshma A.; Marsilio, Frank; Thornberry, Nancy A.; Weber, Ann E.
CS Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065-0900, USA
SO Abstracts of Papers, 230th ACS National Meeting, Washington, DC, United States, Aug. 28-Sept. 1, 2005 (2005), MEDI-298 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69HFCL
DT Conference; Meeting Abstract; (computer optical disk)
LA English
AB Some of the most promising current approaches for the treatment of type 2 diabetes are centered on glucagon-like peptide 1 (GLP-1), an incretin hormone that stimulates glucose-dependent insulin biosynthesis and secretion. However, GLP-1 is not active orally and is subject to rapid metabolic inactivation by dipeptidyl peptidase IV (DPP-IV). Inhibition of DPP-IV could thus improve glucose tolerance by enhancing the action of endogenous GLP-1. One major class of DPP-IV inhibitors consists of α-aminoacyl amides of cyclic secondary amines such as pyrrolidine and its derivs. Work from these labs. has demonstrated that compds. in this class derived from L-phenylalanine, substituted at the para position with aryl or heteroaryl groups and/or with side chains at the β-position, are effective inhibitors of DPP-IV. We now report the synthesis and biol. evaluation of conformationally constrained analogs in which the ortho-position is linked to the β-position by formation of a ring. Some simple prototypes were nonselective. However, modifications to stereochem., substitution, and ring size led to highly potent DPP-IV inhibitors with 1000-fold selectivity over related peptidases. Selected analogs were also evaluated for pharmacokinetics in rats.

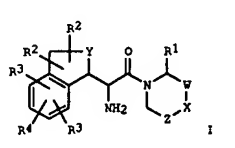
L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:426411 CAPLUS
DN 142:464013
TI Preparation of fused phenylalanine derivatives as dipeptidyl peptidase-IV inhibitors for the treatment or prevention of diabetes
IN Ashton, Wallace T.; Dong, Hong; Xu, Jinyou
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 60 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005044195	A2	20050519	WO 2004-US36252	20041029
WO 2005044195	A3	20051215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004286857	A1	20050519	AU 2004-286857	20041029
CA 2541212	A1	20050519	CA 2004-2541212	20041029
EP 1682120	A2	20060726	EP 2004-810181	20041029
CN 1870990	A	20061129	CN 2004-80031602	20041029
US 2006281727	A1	20061214	US 2006-573108	20060323

PRAI US 2003-517287P P 20031104
WO 2004-US36252 W 20041029
OS MARPAT 142:464013
GI



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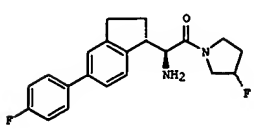
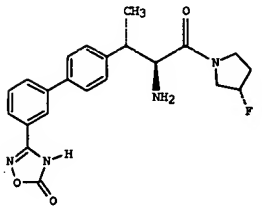


II

AB The invention relates to fused phenylalanine derivs. I [X = CH2,

L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
S, SO, SO2, CHF or CF2; W, Z = null, CH2, CHF or CF2; Y = CH2 or CH2CH2; R1 = H or cyano; R2, R3 = H, halo, alkyl, alkoxy, CF3, CF3O or OH; R4 = H, halo, (un)substituted aryl, heteroaryl or heterocyclyl] or their pharmaceutically-acceptable salts which are inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme and are useful in the treatment or prevention of diseases such as diabetes. Thus, II.HCl was prepd. by a multistep procedure involving reaction of 1,5-dibromoindan (prepn. given) with [2-[(3aS,6R,7aR)-(8,8-dimethyl-2,2-dioxidotetrahydro-3a,6-methano-2,1-benzisothiazol-1(4H)-yl)]-2-oxoethyl](diphenylmethylene)amine.

L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:378881 CAPLUS
DN 143:37912
TI Discovery of potent and selective phenylalanine based dipeptidyl peptidase IV inhibitors
AU Xu, Jinyou; Wei, Lan; Mathvink, Robert; He, Jiafang; Park, You-Jung; He, Huaibing; Leiting, Barbara; Lyons, Kathryn A.; Marsilio, Frank; Patel, Reshma A.; Wu, Joseph K.; Thornberry, Nancy A.; Weber, Ann E.
CS Department of Medicinal Chemistry, Merck & Co., Inc., Rahway, NJ, 07065, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(10), 2533-2536
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 143:37912
GI



I

AB Anti-Substituted β-methylphenylalanine derived amides have been shown to be potent DPP-IV inhibitors exhibiting excellent selectivity over both DPP8 and DPP9. These are among the most potent compds. reported to date lacking an electrophilic trap. The most potent compound among these is 5-oxo-1,2,4-oxadiazole I, which is a 3 nM DPP-IV inhibitor.
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:191539 CAPLUS
TI Discovery of potent, selective and orally bioavailable phenylalanine based dipeptidyl peptidase IV inhibitors
AU Xu, Jinyou; Wei, Lan; Mathvink, Robert; He, Jiafang; Park, You Jung; He, Huaibing; Leiting, Barbara; Lyons, Kathryn A.; Marsilio, Frank; Patel, Reshma A.; Wu, Joseph K.; Thornberry, Nancy A.; Weber, Ann E.
CS Department of Medicinal Chemistry, Merck&Co., Inc, Rahway, NJ, 07065, USA
SO Abstracts of Papers, 229th ACS National Meeting, San Diego, CA, United States, March 13-17, 2005 (2005), MEDI-206 Publisher: American Chemical Society, Washington, D. C.
CODEN: 69GQMP
DT Conference; Meeting Abstract
LA English
AB The gut hormones glucagon-like peptide-1 (GLP-1) and glucose dependent insulinotropic polypeptide (GIP) are both incretin hormones that are released from the gut during meals, and serve as enhancers of glucose stimulated insulin release from the beta cells. GLP-1 has been proposed as a new treatment of type 2 diabetes. However, GLP-1 and GIP are rapidly degraded in plasma by the serine protease dipeptidyl peptidase IV (DPP-IV). Inhibition of DP-IV increases the levels of endogenous intact circulating GLP-1 and GIP. Therefore, inhibition of DPP-IV is rapidly emerging as a novel therapeutic approach to the treatment of type 2 diabetes. Herein, we would like to report the synthesis and biol. activity of a novel series of phenylalanine based DPP-IV inhibitors. Optimized compds. exhibited excellent selectivity and good pharmacokinetic profiles.

L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:681507 CAPLUS
DN 141:207234
TI 3-Amino-4-phenylbutanoic acid derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
IN Ashton, Wallace T.; Caldwell, Charles G.; Duffy, Joseph L.; Mathvink, Robert J.; Wang, Liping; Weber, Ann E.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004069162	A2	20040819	WO 2004-US2309	20040127
	WO 2004069162	A3	20050519		
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	CA 2513684	A1	20040819	CA 2004-2513684	20040127
	EP 1592689	A2	20051109	EP 2004-705717	20040127
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	JP 2006516573	T	20060706	JP 2006-501124	20040127
	US 2006074087	A1	20060406	US 2005-542694	20050719
PRAI	US 2003-444145P	P	20030131		
	WO 2004-US2309	W	20040127		

OS MARPAT 141:207234
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [wherein W, X, Y, Z = independently N, CH and derivs.; with the provisos that at least one of W, X, Y, and Z = CH and derivs., and when W = Y = N, then one of X and Z = N; Ar = (un)substituted phenyl; R7, R8, R9 = independently H, CN, (CH2)nCO2H, (un)substituted alkyl, (CH2)n-hetero/aryl, (CH2)n-heterocyclyl, etc.; n = 0-2; and their pharmaceutically acceptable salts] were prepared as inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme for treating diabetes, in particular type 2 diabetes. For example, II·TFA was prepared, in 4 steps, from acid III, 7-nitro-1,2,3,4-tetrahydroisoquinoline, benzenesulfonyl chloride and TFA. I displayed IC50 values < 1 μM for the inhibition of DP-IV. Thus, I are useful in the prevention or treatment of diseases in which the dipeptidyl peptidase-IV enzyme is involved, such as type 2 diabetes, obesity, hyperglycemia, and other lipid disorders(no data).

L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:490700 CAPLUS
DN 141:54612
TI Preparation of phenylalanine derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
IN Duffy, Joseph L.; Mathvink, Robert J.; Weber, Ann E.; Xu, Jinyou
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050022	A2	20040617	WO 2003-US37825	20031126
	WO 2004050022	A3	20040805		
	WO 2004050022	B1	20040930		
	WO 2004050022	A8	20041216		
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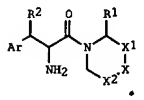
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2508487	A1	20040617	CA 2003-2508487	20031126
	AU 2003297564	A1	20040623	AU 2003-297564	20031126
	EP 1578414	A2	20050928	EP 2003-812453	20031126
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006510630	T	20060330	JP 2004-557341	20031126
	US 2006111336	A1	20060525	US 2005-537476	20050603
PRAI	US 2002-430836P	P	20021204		
	WO 2003-US37825	W	20031126		

OS MARPAT 141:54612
GI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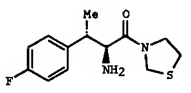
II

AB The invention relates to phenylalanine derivs. I [X = CH2, S, CHF or CF2; X1, X2 = null or CH2; Ar = (un)substituted phenyl; R1 = H or cyano; R2 = (un)substituted alk(en)yl, (CH2)n-aryl, -heteroaryl, -heterocyclyl, -cycloalkyl, -CO2H or alkyl ester or amides (n = 0-2)] or their pharmaceutically-acceptable salts which are inhibitors of the

L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
dipeptidyl peptidase-IV (DP-IV) enzyme and which are useful in the treatment or prevention of diseases such as diabetes. Thus, II.TFA was prepd. via amidation of (βS)-N-(tert-butoxycarbonyl)-4-fluoro-β-methyl-L-phenylalanine (prepn. given) with thiazolidine.

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:430789 CAPLUS
DN 141:7438
TI Preparation of phenylalanine derivatives as dipeptidyl peptidase inhibitors for the treatment or prevention of diabetes
IN Colandrea, Vincent J.; Edmondson, Scott D.; Mathvink, Robert J.; Mastracchio, Anthony; Weber, Ann E.; Xu, Jinyou
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004043940	A1	20040527	WO 2003-US34924	20031103
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2504735	A1	20040527	CA 2003-2504735	20031103
	AU 2003290577	A1	20040603	AU 2003-290577	20031103
	EP 1562925	A1	20050817	EP 2003-783112	20031103
	EP 1562925	B1	20070103		
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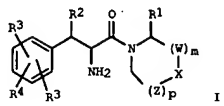
	BR 2003015796	A	20050913	BR 2003-15796	20031103
	CN 1735605	A	20060215	CN 2003-80108228	20031103
	JP 2006509839	T	20060323	JP 2005-507072	20031103
	AT 350374	T	20070115	AT 2003-783112	20031103
	US 2005222140	A1	20051006	US 2003-481352	20031219
	US 7157490	B2	20070102		
	NO 2005002690	A	20050722	NO 2005-2690	20050606
PRAI	US 2002-424483P	P	20021107		
	US 2003-501232P	P	20030619		
	WO 2003-US34924	W	20031103		

OS MARPAT 141:7438
GI

L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)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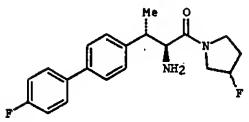

II

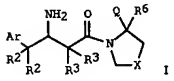
AB The invention relates to phenylalanine derivs. I [n is 0-2; m, p are 0 or 1; X is CH2, S, CHF or CF2; W, Z are CH2, CHF or CF2; R1 is H or cyano; R2 is H, alk(en)yl, -(CH2)nR5, where R5 is CO2H, carbalkoxy, an amino group, (un)substituted (hetero)aryl, heterocyclyl or cycloalkyl; R3 is H, halo, alkyl, alkoxy, cyano, trifluoromethyl, trifluoromethoxy or hydroxy; R4 is (un)substituted (hetero)aryl or heterocyclyl] or their pharmaceutically-acceptable salts, which are inhibitors of the dipeptidyl peptidase-IV (DP-IV) enzyme for use in pharmaceutical compns. for the treatment or prevention of diseases in which DP-IV is involved, e.g., type 2 diabetes. Thus, compound II TFA salt was prepared by amidation of (2S,3S)-2-azido-3-(4-bromophenyl)butanoic acid (preparation given) with (3S)-3-fluoropyrrolidine hydrochloride, coupling with 4-fluorophenylboronic acid, and deprotection.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:5711 CAPLUS
DN 138:73536
TI Preparation of peptides as dipeptidyl peptidase inhibitors for the treatment of diabetes
IN Edmondson, Scott D.; Parmee, Emma; Weber, Ann E.; Xu, Jinyou
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000180	A2	20030103	WO 2002-US19432	20020619
	WO 2003000180	A3	20040129		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2450579	A1	20030103	CA 2002-2450579	20020619
	EP 1406872	A2	20040414	EP 2002-744447	20020619
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004535433	T	20041125	JP 2003-506626	20020619
	US 2004176428	A1	20040909	US 2003-481319	20031218
	US 7098239	B2	20060829		
PRAI	US 2001-299464P	P	20010620		
	WO 2002-US19432	W	20020619		

OS MARPAT 138:73536
GI



I

AB Compds. I [X is CR10R11, S, SO, SO2, or CR9R10, where R9 is a carbamoyl group, R10, R11 are H, F, alkyl, haloalkyl, with the proviso that when X is CR9R10, Q and R8 are both H; Ar is (un)substituted Ph, naphthyl, thienyl, or benzothiophenyl; R2 is H, OH, halo, alkyl, haloalkyl or R22C is (halo)cycloalkyl; R3 is any group given for R2 except OH; Q is H, a carbamoyl group, or CN; R8 is H, alkyl, or haloalkyl] or their pharmaceutically-acceptable salts and prodrugs were prepared as inhibitors of the dipeptidyl peptidase-IV enzyme (DP-IV) for treatment of DP-IV mediated diseases and conditions, such as non-insulin dependent diabetes mellitus. Thus, 1-[(3R)-3-amino-4-phenylbutanoyl]-N-(5-chloro-2-

L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxybenzyl)-L-prolinamide was prepd. by amidation of Boc-Pro-OH (Boc = tert-butoxycarbonyl) with 5-chloro-2-hydroxybenzylamine, deprotection, and coupling with N-Boc-(R)-β- phenylalanine. Compds. of the invention generally have DP-IV inhibition consts. of < 10 μM.

L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1991:559805 CAPLUS
DN 115:159805
TI Preparation of N-carboxyalkyl dipeptides as antivirals
IN Tolman, Richard L.; Ashton, Wallace T.; Wu, Mu Tsu
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 412595	A1	19910213	EP 1990-202029	19900725
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5110799	A	19920505	US 1989-386071	19890728
	CA 2021944	A1	19910129	CA 1990-2021944	19900725
	JP 03148295	A	19910625	JP 1990-198079	19900727
PRAI	US 1989-386071	A	19890728		

OS MARPAT 115:159805
AB R4O2CCR2R3(A)nNR6CHR1R5 [R1 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, Ph, alkyl, etc.; R2, R3 = H, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, C1-6 alkyl, etc.; R4 = H, cycloalkyl, alkyl, etc.; R5 = CO2R4, CH2CO2R4, PO3R4, etc.; R6 = H, Me; or R1R6 C2-4 alkylene; n = 0, 1; A = His, Asp, etc.] useful as antivirals (no data) and especially useful for treatment of herpes infection (no data), were prepared Stirring a mixture of
BOC-His(DNp)-OH (Dnp = 2,4-dinitrophenyl) with H-Leu-O-bzl (bzl = benzyl), DCC, and HOBt at ambient temperature for 41 h followed by sequential treatment
with CF3CO2H and thiophenol gave Nα-carboxymethylhistidylleucine.

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     (FILE 'HOME' ENTERED AT 15:22:31 ON 26 MAR 2007)

     FILE 'REGISTRY' ENTERED AT 15:22:43 ON 26 MAR 2007
L1             STRUCTURE UPLOADED
               D
L2           1 SEA SSS SAM L1
               D SCAN
L3          45 SEA SSS FUL L1

     FILE 'CAPLUS' ENTERED AT 15:23:36 ON 26 MAR 2007
L4           6 SEA ABB=ON  PLU=ON  L3
               D QUE L4 STAT
               D 1-6 BIB ABS HITSTR
               E ASHTON WALLACE/AU
L5          99 SEA ABB=ON  PLU=ON  ("ASHTON WALLACE"/AU OR "ASHTON WALLACE
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               E DONG HONG/AU
L6          64 SEA ABB=ON  PLU=ON  "DONG HONG"/AU
               E XU JINYOU/AU
L7          31 SEA ABB=ON  PLU=ON  "XU JINYOU"/AU
L8         185 SEA ABB=ON  PLU=ON  L5 OR L6 OR L7
L9          10 SEA ABB=ON  PLU=ON  L8 AND PHENYLALANINE
               D QUE L9 STAT
               D 1-10 BIB ABS


     FILE HOME

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     DICTIONARY FILE UPDATES:  25 MAR 2007  HIGHEST RN 928121-90-8

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FILE LAST UPDATED: 25 Mar 2007 (20070325/ED)

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